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NEWS	2	Apr 08	"Ask CAS" for self-help around the clock
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NEWS	21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS	22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS	23	Sep 03	JAPIO has been reloaded and enhanced
NEWS	24	Sep 16	Experimental properties added to the REGISTRY file
NEWS	25	Sep 16	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS	26	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS	27	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS	28	Oct 21	EVENTLINE has been reloaded
NEWS	29	Oct 24	BEILSTEIN adds new search fields
NEWS	30	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS	31	Oct 25	MEDLINE SDI run of October 8, 2002
NEWS	32	Nov 18	DKILIT has been renamed APOLLIT
NEWS	33	Nov 25	More calculated properties added to REGISTRY
NEWS	34	Dec 02	TIBKAT will be removed from STN
NEWS	35	Dec 04	CSA files on STN
NEWS	36	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS	37	Dec 17	TOXCENTER enhanced with additional content
NEWS	38	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS	39	Dec 30	ISMEC no longer available
NEWS	EXPRESS		January 6 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
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FILE 'HOME' ENTERED AT 16:29:36 ON 09 JAN 2003

=> file caplus medline

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0.21

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FILE 'MEDLINE' ENTERED AT 16:29:51 ON 09 JAN 2003

=> s (self emulsifying drug delivery system or sedds)

L1 20 (SELF EMULSIFYING DRUG DELIVERY SYSTEM OR SEDDS)

=> s l1 same pvp

MISSING OPERATOR L1 SAME

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s l1 (p) pvp

L2 0 L1 (P) PVP

=> s l1 (p) polyvinylpyrrolidone

L3 0 L1 (P) POLYVINYLPIRROLIDONE

=> s l1 and polyvinylpyrrolidone

L4 0 L1 AND POLYVINYLPIRROLIDONE

=> dup

ENTER REMOVE, IDENTIFY, ONLY, OR (?):rem

ENTER L# LIST OR (END):l1

PROCESSING COMPLETED FOR L1

L5 17 DUP REM L1 (3 DUPLICATES REMOVED)

=> d l5 1- ibib kwic

YOU HAVE REQUESTED DATA FROM 17 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 17

MEDLINE

ACCESSION NUMBER: 2001515638 MEDLINE

DOCUMENT NUMBER: 21238974 PubMed ID: 11341360

TITLE: Application of pressure-controlled colon delivery capsule to oral administration of glycyrrhizin in dogs.

AUTHOR: Shibata N; Ohno T; Shimokawa T; Hu Z; Yoshikawa Y; Koga K; Murakami M; Takada K

CORPORATE SOURCE: Department of Pharmacokinetics, Kyoto Pharmaceutical University, Japan.

SOURCE: JOURNAL OF PHARMACY AND PHARMACOLOGY; (2001 Apr) 53 (4) 441-7.

Journal code: 0376363. ISSN: 0022-3573.

PUB. COUNTRY: England: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200109
ENTRY DATE: Entered STN: 20010924
Last Updated on STN: 20010924
Entered Medline: 20010920

AB . . . obtained. Furthermore, dose-dependent effects of Polysorbate 80 were not obtained. Labrasol, which is a component of self-emulsifying drug delivery systems (**SEDDS**), has been shown to strongly improve the bioavailability of glycyrrhizin from the colon.

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1

ACCESSION NUMBER: 2001:69677 CAPLUS

DOCUMENT NUMBER: 134:300722

TITLE: Self-emulsifying drug delivery systems (**SEDDS**) of coenzyme Q10: formulation development and bioavailability assessment

AUTHOR(S): Kommuru, T. R.; Gurley, B.; Khan, M. A.; Reddy, I. K.
CORPORATE SOURCE: School of Pharmacy, University of Louisiana at Monroe, Monroe, LA, 71209, USA

SOURCE: International Journal of Pharmaceutics (2001), 212(2), 233-246

CODEN: IJPHDE; ISSN: 0378-5173

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Self-emulsifying drug delivery systems (**SEDDS**) of coenzyme Q10: formulation development and bioavailability assessment

AB The goals of these investigations are to develop and characterize self-emulsifying drug delivery systems (**SEDDS**) of coenzyme Q10 (CoQ10), using polyglycolized glycerides (PGG) as emulsifiers and to evaluate their bioavailability in dogs. Soly. of CoQ10 was detd. in various oils and surfactants. **SEDDS** consisted of oil, a surfactant and a cosurfactant. Four types of self-emulsifying formulations were prepd. by using 2 oils (Myvacet 9-45 and Captex-200), 2 emulsifiers (Labrafac CM-10 and Labrasol) and a cosurfactant (lauroglycol). In all the formulations, the level of CoQ10 was fixed at 5.66% of the vehicle. The in vitro self-emulsification properties and droplet size anal. of these formulations upon their addn. to water under mild agitation conditions were studied. Pseudo-ternary phase diagrams were constructed identifying the efficient self-emulsification region. From these studies, an optimized formulation was selected and its bioavailability was compared with a powder formulation in dogs. Medium-chain oils and Myvacet 9-45 provided higher soly. than long chain oils. Efficient and better self-emulsification processes were obsd. for the systems contg. Labrafac CM-10 than formulations contg. Labrasol. Addn. of a cosurfactant improved the spontaneity of self-emulsification. From these studies, an optimized formulation consisting of Myvacet 9-45 (40%), Labrasol (50%) and lauroglycol (10%) was selected for its bioavailability assessment. A 2-fold increase in the bioavailability was obsd. for the self-emulsifying system compared to a powder formulation. **SEDDS** improved the bioavailability of CoQ10 significantly. The data suggest the potential use of **SEDDS** to provide an efficient way of improving oral absorption of lipophilic drugs.

L5 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:341382 CAPLUS

DOCUMENT NUMBER: 133:48765

TITLE: Self-emulsifying drug delivery formulations in the 21st century: challenges and opportunities
AUTHOR(S): Constantinides, Panayiotis P.
CORPORATE SOURCE: SONUS Pharmaceuticals, Bothell, WA, 98021, USA
SOURCE: ACS Symposium Series (2000), 752(Controlled Drug Delivery), 284-296
CODEN: ACSMC8; ISSN: 0097-6156
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB A review with 30 refs. Opportunities and challenges on the use of self-emulsifying drug delivery formulations for oral drug delivery and intestinal absorption enhancement are discussed. In the context of self-emulsifying formulations, the discussion includes both self-emulsifying drug delivery systems (**SEDDS**) and water-in-oil (W/O) microemulsions and case studies are presented where these systems have successfully been used to improve drug dissoln. and oral absorption by overcoming soly. and membrane transport barriers. Drug development challenges such as excipient and vehicle selection, gelatin compatibility, phys. and chem. stability, drug release, toxicity and safety, range of applicability and overall com. viability are addressed. Future perspectives are discussed to further expand the application of these lipid drug carriers in oral drug delivery.

L5 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:146228 CAPLUS
TITLE: Self-emulsifying drug delivery systems in the 21st century: Challenges and opportunities
AUTHOR(S): Constantinides, Panayiotis P.
CORPORATE SOURCE: SONUS Pharmaceuticals, Bothell, WA, 98021, USA
SOURCE: Book of Abstracts, 217th ACS National Meeting, Anaheim, Calif., March 21-25 (1999), POLY-192.
American Chemical Society: Washington, D. C.
CODEN: 67GHA6
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB Several opportunities exist in the use of self-emulsifying drug delivery systems (**SEDDS**) including oil-in-water (o/w) and water-in-oil (w/o) microemulsions in drug delivery, particularly for oral drug delivery and intestinal absorption enhancement. Examples will be presented where these systems have been successfully used to improve drug dissoln. and oral absorption by overcoming drug soly. and membrane transport barriers. Unlike **SEDDS** systems, however, where specific pharmacokinetic needs have been met with drugs/peptides already on the market, the com. potential of w/o microemulsions has yet to be proven and most of the work to date has been focused on a very productive pre-clin. research. Drug development challenges such as excipient and vehicle selection, phys. and chem. stability, toxicity and safety, range of applicability and overall com. viability along with future perspectives will be discussed.

L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:797626 CAPLUS
TITLE: Self-emulsifying drug delivery system containing ibuprofen for oral use
AUTHOR(S): Choi, Jeong-Hwa; Kim, Ja-Young; Ku, Young-Soon
CORPORATE SOURCE: College of Pharmacy, Ewha Womans University, Seoul, 120-750, S. Korea
SOURCE: Yakche Hakhoechi (1999), 29(2), 99-103
CODEN: YAHAEX; ISSN: 0259-2347
PUBLISHER: Korean Society of Pharmaceutics
DOCUMENT TYPE: Journal

LANGUAGE: Korean

AB Self-Emulsifying System(SES), an isotropic mixt. of oil and surfactant which forms oil-in-water emulsion, is expected to improve in vitro drug dissoln. and enhance in vivo drug absorption. A poorly water sol. drug, ibuprofen(IBP) was incorporated into the SES to improve absorption, and enhance bioavailability of drug. Medium chain triglyceride, glyceryl tricaprilate(GTC) as an oil, and Tween 85 as a surfactant were used to formulate SES. To characterize SESs with various concns. of Tween 85, the phase sepn. and soly. of IBP-**SEDDS** contg. IBP as a function of Tween 85 concn. were conducted, and the particle size was measured using photon correlation spectroscopic method. The SES with optimal concn. of Tween 85(35%(wt./wt.)) was selected based on its high drug loading, small particle size and low surfactant concn. After an oral administration of IBP-**SEDDS** and IBP suspension in Me cellulose equiv. to 40.0 mg/kg to rats, the pharmacokinetic parameters were compared. The Cmax(163.17 vs 88.82 .mu.g/mL), AUC(12897.01 vs 8751.13 .mu.g .cntdot. min/mL) and Bioavailability(86.44 vs 58.65%) significantly increased but Tmax(10 vs 20 min) was significantly advanced. The current **SEDDS** contg. IBP provide an alternative to improve an oral bioavailability of IBP.

L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:809148 CAPLUS

DOCUMENT NUMBER: 132:325866

TITLE: Key issues when formulating hydrophobic drugs with lipids

AUTHOR(S): Pouton, Colin W.

CORPORATE SOURCE: University of Bath, Bath, BA2 7AY, UK

SOURCE: Bulletin Technique Gattefosse (1999), 92, 41-50

CODEN: BTGRDQ; ISSN: 0397-7617

PUBLISHER: Gattefosse s.a.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB A review with 20 refs. Although lipids may have beneficial effects on the bioavailability of hydrophilic compds. from the gastrointestinal tract, the majority of drugs which have benefited from lipid formulation are hydrophobic compds., which are typically poorly bioavailable from the cryst. state due to dissoln. rate limitation in the gastrointestinal tract. For hydrophobic drugs the best strategy is to keep the drug in soln. during its passage through the gut, so as to avoid the dissoln. step. As well as presenting the drug in soln., the formulation would ideally be finely dispersed within the gut to ensure that drug can be made available for absorption from the lumen of the gut, by partitioning from the reservoir of dissolved drug. Lipid formulations can be dispersed in a colloidal state either by digestion (lipolysis and solubilization), or by formulating the lipid so that it self-emulsifies in the gut. The initial consideration is the soly. of the drug in triglyceride oils vs. surfactant-oil mixts. If a suitable dose can be administered in oil soln., then a choice needs to be made between a self-emulsifying drug delivery system (**SEDDS**) and a simple digestible formulation. The **SEDDS** will perform independently of bile and pancreatic lipase, and will probably lead to rapid absorption with a short time to peak and high Cmax. Simple digestible solns. (free of surfactants) represent a formulation strategy free of toxicol. risk, but the digestibility of the formulation and the subsequent fate of the drug must be investigated before this strategy is used. If the drug ppts. on digestion of the formulation, then any potential advantage will be lost. Thus in vitro digestion and solubilization of the drug by bile salt-lecithin micelles can be used to validate the formulation. Methods for formulation of **SEDDS** and investigation of the likely fate of the formulation are discussed.

L5 ANSWER 7 OF 17 MEDLINE
 ACCESSION NUMBER: 1998179672 MEDLINE
 DOCUMENT NUMBER: 98179672 PubMed ID: 9519148
 TITLE: Lipid-based delivery systems for improving the bioavailability and lymphatic transport of a poorly water-soluble LTB4 inhibitor.
 AUTHOR: Hauss D J; Fogal S E; Ficorilli J V; Price C A; Roy T; Jayaraj A A; Keirns J J
 CORPORATE SOURCE: Department of Drug Metabolism and Pharmacokinetics, Boehringer Ingelheim Pharmaceuticals, Inc., Ridgefield, Connecticut 06877-0368, USA.. DHauss@BI-Pharm.com
 SOURCE: JOURNAL OF PHARMACEUTICAL SCIENCES, (1998 Feb) 87 (2) 164-9.
 Journal code: 2985195R. ISSN: 0022-3549.
 PUB. COUNTRY: United States
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
 LANGUAGE: English
 FILE SEGMENT: Priority Journals
 ENTRY MONTH: 199804
 ENTRY DATE: Entered STN: 19980416
 Last Updated on STN: 19980416
 Entered Medline: 19980406
 AB . . . the gastrointestinal tract, or the effects of lipid on the gastrointestinal membrane permeability, transit time, or metabolism of ontazolast. Semisolid **SEDDS** formulations, composed of Peceol and Gelucire 44/14, produced bioavailability similar to the emulsion formulation. The total amount of ontazolast transported. . .

 L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:459595 CAPLUS
 DOCUMENT NUMBER: 129:193637
 TITLE: Formulation design and bioavailability assessment of lipidic self-emulsifying formulations of halofantrine
 AUTHOR(S): Khoo, Shui-Mei; Humberstone, Andrew J.; Porter, Christopher J. H.; Edwards, Glenn A.; Charman, William N.
 CORPORATE SOURCE: Victorian College of Pharmacy, Department of Pharmaceutics, Monash University, Parkville, 3052, Australia
 SOURCE: International Journal of Pharmaceutics (1998), 167(1-2), 155-164
 CODEN: IJPHDE; ISSN: 0378-5173
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
 AB The potential for lipidic self-emulsifying drug delivery systems (**SEDDS**) and self-microemulsifying drug delivery systems (SMEDDS) to improve the oral bioavailability of a poorly absorbed, antimalarial drug (Halofantrine, Hf) was investigated in fasted beagles. Hf free base, rather than the com. available hydrochloride salt (Hf.HCl), was studied due to its much higher soly. in lipidic triglyceride solvents. The multi-component delivery systems were optimized by evaluating their ability to self-emulsify when introduced to an aq. medium under gentle agitation, and by detn. of particle size of the resulting emulsion. Optimized formulations selected for bioavailability assessment were medium-chain triglyceride **SEDDS** and SMEDDS, and a long-chain triglyceride SMEDDS. The relevant pharmacokinetic parameters of Hf, and its desbutyl metabolite, were detd. relative to an i.v. formulation. The lipid-based formulations of Hf base afforded a six- to eight-fold improvement in abs. oral bioavailability relative to previous data of the

solid Hf.HCl tablet formulation. These data indicate the utility of dispersed lipid-based formulations for the oral delivery of Hf free base, and potentially other lipophilic drugs.

L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:137909 CAPLUS
DOCUMENT NUMBER: 126:242639
TITLE: Formulation of self-emulsifying drug delivery systems
AUTHOR(S): Pouton, Colin W.
CORPORATE SOURCE: School of Pharmacy and Pharmacology, University of Bath, Claverton Down, Bath, BA2 7AY, UK
SOURCE: Advanced Drug Delivery Reviews (1997), 25(1), 47-58
CODEN: ADDREP; ISSN: 0169-409X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 33 refs. Self-emulsifying drug delivery systems (**SEDDS**) are mixts. of oils and surfactants, ideally isotropic, sometimes including cosolvents, which emulsify under conditions of gentle agitation, similar to those which would be encountered in the gastrointestinal tract. Hydrophobic drugs can often be dissolved in **SEDDS** allowing them to be encapsulated as unit dosage forms for peroral administration. When such a formulation is released into the lumen of the gut it disperses to form a fine emulsion, so that the drug remains in soln. in the gut, avoiding the dissoln. step which frequently limits the rate of absorption of hydrophobic drugs from the cryst. state. Generally this can lead to improved bioavailability, and/or a more consistent temporal profile of absorption from the gut. Ultra-low oil-water interfacial tension and/or substantial interfacial disruption are required to achieve self-emulsification. **SEDDS** are usually formulated with triglyceride oils and ethoxylated nonionic surfactants, usually at surfactant concns. greater than 25%. In practice, disruption of the oil-water interface is caused by penetration of water into the formulation or diffusion of cosolvents away from the formulation. Both of these phenomena can be studied using equil. phase diagrams, which in combination with particle size measurements allow the optimization of performance of **SEDDS**. The precise mechanisms of emulsification remain the subject of speculation but there is an empirical link between self-emulsification, liq. crystal formation, oil-water phase-inversion temp. and enhanced solubilization of water by oily formulations, and these phenomena are indicators of the efficiency of emulsification. This article describes strategies used for formulation of **SEDDS**, methods used for assessment of efficiency of emulsification and practical considerations regarding the use of **SEDDS** for enhancement of the bioavailability of drugs from the gastrointestinal tract.

L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 2

ACCESSION NUMBER: 1997:477220 CAPLUS
DOCUMENT NUMBER: 127:144795
TITLE: Phase I/II study of the toxicity, pharmacokinetics, and activity of the HIV protease inhibitor SC-52151
AUTHOR(S): Fischl, Margaret A.; Richman, Douglas D.; Flexner, Charles; Para, Michael F.; Haubrich, Richard; Karim, Aziz; Yeramian, Patrick; Holden-Wiltse, Jeanne; Meehan, Patricia M.
CORPORATE SOURCE: Department of Medicine, University of Miami School of Medicine, Miami, FL, 33101, USA
SOURCE: Journal of Acquired Immune Deficiency Syndromes and Human Retrovirology (1997), 15(1), 28-34
CODEN: JDSRET; ISSN: 1077-9450
PUBLISHER: Lippincott-Raven
DOCUMENT TYPE: Journal
LANGUAGE: English

AB SC-52151, an HIV-1 protease inhibitor, was developed as an ethanol-based elixir and subsequently as a self-emulsifying drug delivery system (**SEDDS**) to improve bioavailability. To evaluate formulation and treatment regimen effects, we conducted a four-arm, phase I/II study using the highest previously tested daily dose, 2250 mg. Forty-nine patients received the elixir or **SEDDS** at a dosage of 750 mg three times daily or 1125 mg twice daily for 14 days. One patient developed hypertriglyceridemia, and one had fever and dyspnea. The **SEDDS** formulation compared with the elixir resulted in a larger area under the concn.-time curve (AUC, $p < 0.001$), peak (C_{max} , $p = 0.041$) and trough (C_{min} , $p = 0.025$). Twice-daily administration compared with administration three times daily produced a higher cumulative AUC ($p = 0.008$). Both **SEDDS** regimens produced mean plasma concns. above the 90% inhibitory concn. (IC₉₀) for HIV. A mean decline of 0.03 log₁₀ RNA copies (**SEDDS**) and an increase of 0.15 log₁₀ (elixir) were obsd. Although SC-52151 was well tolerated and the **SEDDS** formulation resulted in plasma concns. above the IC₉₀ for viral replication, no antiviral activity was produced.

L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:595194 CAPLUS

DOCUMENT NUMBER: 125:284580

TITLE: Evaluation of emulsifiable glasses for the oral administration of cyclosporin in beagle dogs

AUTHOR(S): Porter, Christopher J. H.; Charman, Susan A.; Williams, Rachel D.; Bakalova, Margarita V.; Charman, William N.

CORPORATE SOURCE: Department of Pharmaceutics, Victorian College of Pharmacy, Monash University, Parkville, Victoria, 3052, Australia

SOURCE: International Journal of Pharmaceutics (1996), 141(1,2), 227-237

CODEN: IJPHDE; ISSN: 0378-5173

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Solid state emulsifiable glasses have been proposed as delivery systems for poorly water sol. drugs. This study assessed the utility of the emulsifiable glass (EG) technol. for the oral delivery of cyclosporin. EG formulations were prepd., evaluated in vitro, and the bioavailability assessed in beagle dogs. Although the std. EG formulations (i.e. contg. no surfactant) produced a dispersed phase upon reconstitution, significant quantities of residual oil were present within these systems. The abs. bioavailability of cyclosporin after administration of an EG cyclosporin formulation (12.5 mg dose) was compared with a 25 mg Sandimmun.RTM. capsule and a 25 mg surfactant-based self-emulsifying lipid formulation (**SEDDS**) in a randomized cross-over study conducted in four beagle dogs. The abs. bioavailability and the major pharmacokinetic parameters of cyclosporin were similar for the three oral formulations. Subsequently, a surfactant enhanced emulsifiable glass (SEEG) was formulated which offered the following advantages over the std. EG systems: (i) rapid, efficient and complete emulsification, (ii) a four-fold increase in drug loading capacity, and (iii) a two-fold decrease in processing time. The relative bioavailability and pharmacokinetic characteristics of the SEEG formulation were evaluated relative to Sandimmun in a two-way crossover in four beagle dogs. There were no significant differences in either the major pharmacokinetic parameters or the relative bioavailability of the two formulations. Comparing the two studies, there was significantly less variability in the blood cyclosporin profiles after administration of the SEEG formulation than after administration of the std. EG formulation. These studies demonstrate the utility of EG technol. for the oral delivery of cyclosporin, and develop the technol. to include surfactant enhanced systems which offer improved

characteristics.

L5 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:330990 CAPLUS

DOCUMENT NUMBER: 120:330990

TITLE: Self-emulsifying drug delivery systems (**SEDDS**) with polyglycolized glycerides for improving in vitro dissolution and oral absorption of lipophilic drugs

AUTHOR(S): Shah, N. H.; Carvajal, M. T.; Patel, C. I.; Infeld, M. H.; Malick, A. W.

CORPORATE SOURCE: Pharm. Res. Dev., Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA

SOURCE: International Journal of Pharmaceutics (1994), 106(1), 15-23

CODEN: IJPHDE; ISSN: 0378-5173

DOCUMENT TYPE: Journal

LANGUAGE: English

TI Self-emulsifying drug delivery systems (**SEDDS**) with polyglycolized glycerides for improving in vitro dissolution and oral absorption of lipophilic drugs

AB The ability of polyglycolized glycerides (PGG) with varying fatty acid and polyethylene glycol (PEG) chain lengths to produce the self-emulsification of oil in water has been investigated. The quality of the resulting emulsions depends on the oil and emulsifier pair selected. These self-emulsifying drug delivery systems (**SEDDS**) were prepd. using various concns. of PGG as emulsifiers. Two oils, a medium-chain triglyceride (Neobee M5) and peanut oil, were chosen as the vehicle for the drug. A lipophilic drug with excellent oil soly. was selected for this study. The droplet size distribution, the release rate of the drug and the oil/water partition coeff. (PCo/w) of the drug in these systems were evaluated for the **SEDDS** obtained. The results indicate that PGG are effective emulsifiers for **SEDDS**. Droplet particle size in combination with droplet polarity in the emulsion are prerequisites for efficient **SEDDS**. The PCo/w of the drug from these **SEDDS** is helpful in evaluating these properties. A phase diagram was used to obtain the optimum concns. of drug, oil and emulsifying agent. The results obtained with PGG were compared with previously reported **SEDDS** for the efficiency of drug release (Bachynsky et al., 1989). In vitro dissoln. and in vivo absorption of a lipophilic drug from **SEDDS** are compared with those properties of other dosage forms.

L5 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:610502 CAPLUS

DOCUMENT NUMBER: 119:210502

TITLE: An investigation into the physicochemical properties of self-emulsifying systems using low frequencies dielectric spectroscopy, surface tension measurements and particle size analysis

AUTHOR(S): Craig, D. Q. M.; Lievens, H. S. R.; Pitt, K. G.; Storey, D. E.

CORPORATE SOURCE: Sch. Pharm., Univ. London, London, WC1N 1AX, UK

SOURCE: International Journal of Pharmaceutics (1993), 96(1-3), 147-55

CODEN: IJPHDE; ISSN: 0378-5173

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The structure and behavior of self-emulsifying drug delivery systems (**SEDDS**) contg. Labrafil M2125 CS and Tween 80 have been examd. and the effects of changing the formulation via the addn. of a non-polar model drug (L-365260) investigated. Low frequency dielec. spectroscopy (LFDS) was used to examine the individual components in order to investigate the

effects of drug inclusion. The presence of the drug resulted in a decrease in the dielec. response of the Labrafil M2125 CS, Tween 80 and the oil-surfactant vehicles. The surface tension of the emulsions decreased on addn. of the drug, while particle size anal. showed that the emulsions contg. no drug and 2% w/v drug had a bimodal distribution and the emulsions contg. 6% w/v drug were unimodal. It was found that the bimodal distribution changed over a period of 14 h, with a decrease in modal value of the larger distribution peak and, for samples contg. no drug, an increase in the proportion of droplets in the lower size distribution. The results therefore indicate that the drug interacts with one or more components of the self-emulsifying system, leading to a change in droplet size distribution which varies as a function of drug concn.

L5 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:38044 CAPLUS

DOCUMENT NUMBER: 120:38044

TITLE: Self-emulsifying drug delivery systems.(**SEDDS**)
) for improving in vitro dissolution and oral
absorption of lipophilic drugs

AUTHOR(S): Shah, N. H.; Carvajal, M. T.; Patel, C. I.; Infeld, M.
H.; Malick, A. W.

CORPORATE SOURCE: Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA

SOURCE: Bulletin Technique Gattefosse (1993), 85, 45-54

CODEN: BTGRDQ; ISSN: 0397-7617

DOCUMENT TYPE: Journal

LANGUAGE: French

TI Self-emulsifying drug delivery systems (**SEDDS**) for improving in
vitro dissolution and oral absorption of lipophilic drugs

AB **SEDDS** contg. polyglycolized glycerides provides an efficient way
of improving the oral absorption of a lipophilic drug.

L5 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 3

ACCESSION NUMBER: 1992:91269 CAPLUS

DOCUMENT NUMBER: 116:91269

TITLE: Self-emulsifying drug delivery systems: formulation
and biopharmaceutic evaluation of an investigational
lipophilic compound

AUTHOR(S): Charman, Susan A.; Charman, William N.; Rogge, Mark
C.; Wilson, Terry D.; Dutko, Frank J.; Pouton, Colin
W.

CORPORATE SOURCE: Sterling Res. Group, Rensselaer, NY, 12144, USA

SOURCE: Pharmaceutical Research (1992), 9(1), 87-93

CODEN: PHREEB; ISSN: 0724-8741

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Self-emulsifying drug delivery systems (**SEDDSs**) represent a possible
alternative to traditional oral formulations of lipophilic compds. A
lipophilic compd., WIN 54954 (I), was formulated in a medium chain
triglyceride oil/nonionic surfactant mixt. which exhibited
self-emulsification under conditions of gentle agitation in an aq. medium.
The efficiency of emulsification was studied using a laser diffraction
sizer to det. particle size distributions of the resultant emulsions. An
optimized formulation which consisted of 25% (wt./wt.) surfactant, 40%
(wt./wt.) oil, and 35% (wt./wt.) I emulsified rapidly with gentle
agitation in 0.1N HCl (37.degree.), producing dispersions with mean
droplet diams. of less than 3 .mu.m. The self-emulsifying prepn. was
compared to a polyethylene glycol 600 (PEG 600) soln. formulation by
administering each as prefilled soft gelatin capsules to fasted beagle
dogs in a parallel crossover study. Pharmacokinetic parameters were detd.
and the abs. bioavailability of the drug was calcd. by comparison to an
i.v. injection. The **SEDDS** improved the reproducibility of the
plasma profile in terms of the max. plasma concn. (Cmax) and the time to
reach the max. concn. (tmax). There was no significant difference in the

abs. bioavailability of I from either the **SEDDS** or the PEG formulations.

L5 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1993:109562 CAPLUS
DOCUMENT NUMBER: 118:109562
TITLE: Physicochemical and biopharmaceutical studies of novel self-emulsifying systems for administration by the oral route (**SEDDS**)
AUTHOR(S): Challis, Deborah
CORPORATE SOURCE: Univ. Bath, Bath, UK
SOURCE: (1991) 356 pp. Avail.: Univ. Microfilms Int., Order No. BRDX94683
From: Diss. Abstr. Int. B 1992, 52(10), 5208-9
DOCUMENT TYPE: Dissertation
LANGUAGE: English
TI Physicochemical and biopharmaceutical studies of novel self-emulsifying systems for administration by the oral route (**SEDDS**)

L5 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:118238 CAPLUS
DOCUMENT NUMBER: 80:118238
TITLE: Partial structure of lebbekanin A, a new saponin from the **sedds** of Albizzia lebbek
AUTHOR(S): Varshney, I. P.; Handa, Geeta; Srivastava, H. C.; Krishnamurthy, T. N.
CORPORATE SOURCE: Dep. Chem., Shri G. S. Inst. Technol. Sci., Indore, India
SOURCE: Indian Journal of Chemistry (1973), 11(11), 1094-6
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English
TI Partial structure of lebbekanin A, a new saponin from the **sedds** of Albizzia lebbek

=> s 15 and kollidon
L6 0 L5 AND KOLLIDON

=> s 15 and ((hexanoic or decanoic or octanoic or nonaoic or linoleic or oleic or lauric or palmitic) (2a) acid
UNMATCHED LEFT PARENTHESIS 'AND ((HEXANOIC'
The number of right parentheses in a query must be equal to the number of left parentheses.

=> s 15 and (hexanoic or decanoic or octanoic or nonaoic or linoleic or oleic or lauric or palmitic) (2a) acid
L7 0 L5 AND (HEXANOIC OR DECANOIC OR OCTANOIC OR NONAOIC OR LINOLEIC OR OLEIC OR LAURIC OR PALMITIC) (2A) ACID

=> s 15 and fatty (2a) acid
L8 2 L5 AND FATTY (2A) ACID

=> d 18 ibib kwic 1-
YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):y

L8 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:69677 CAPLUS
DOCUMENT NUMBER: 134:300722
TITLE: Self-emulsifying drug delivery systems (**SEDDS**) of coenzyme Q10: formulation development and bioavailability assessment
AUTHOR(S): Kommuru, T. R.; Gurley, B.; Khan, M. A.; Reddy, I. K.

CORPORATE SOURCE: School of Pharmacy, University of Louisiana at Monroe,
Monroe, LA, 71209, USA
SOURCE: International Journal of Pharmaceutics (2001), 212(2),
233-246
CODEN: IJPHDE; ISSN: 0378-5173
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Self-emulsifying drug delivery systems (**SEDDS**) of coenzyme Q10:
formulation development and bioavailability assessment
AB The goals of these investigations are to develop and characterize
self-emulsifying drug delivery systems (**SEDDS**) of coenzyme Q10
(CoQ10), using polyglycolized glycerides (PGG) as emulsifiers and to
evaluate their bioavailability in dogs. Soly. of CoQ10 was detd. in
various oils and surfactants. **SEDDS** consisted of oil, a
surfactant and a cosurfactant. Four types of self-emulsifying
formulations were prepd. by using 2 oils (Myvacet 9-45 and Captex-200), 2
emulsifiers (Labrafac CM-10 and Labrasol) and a cosurfactant
(lauroglycol). In all the formulations, the level of CoQ10 was fixed at
5.66% of the vehicle. The in vitro self-emulsification properties and
droplet size anal. of these formulations upon their addn. to water under
mild agitation conditions were studied. Pseudo-ternary phase diagrams
were constructed identifying the efficient self-emulsification region.
From these studies, an optimized formulation was selected and its
bioavailability was compared with a powder formulation in dogs.
Medium-chain oils and Myvacet 9-45 provided higher soly. than long chain
oils. Efficient and better self-emulsification processes were obsd. for
the systems contg. Labrafac CM-10 than formulations contg. Labrasol.
Addn. of a cosurfactant improved the spontaneity of self-emulsification.
From these studies, an optimized formulation consisting of Myvacet 9-45
(40%), Labrasol (50%) and lauroglycol (10%) was selected for its
bioavailability assessment. A 2-fold increase in the bioavailability was
obsd. for the self-emulsifying system compared to a powder formulation.
SEDDS improved the bioavailability of CoQ10 significantly. The
data suggest the potential use of **SEDDS** to provide an efficient
way of improving oral absorption of lipophilic drugs.

IT **Fatty acids**, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C8-10, esters with propylene glycol; formulation development and
bioavailability assessment self-emulsifying drug delivery systems of
coenzyme Q10)

L8 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:330990 CAPLUS
DOCUMENT NUMBER: 120:330990
TITLE: Self-emulsifying drug delivery systems (**SEDDS**
) with polyglycolized glycerides for improving in
vitro dissolution and oral absorption of lipophilic
drugs
AUTHOR(S): Shah, N. H.; Carvajal, M. T.; Patel, C. I.; Infeld, M.
H.; Malick, A. W.
CORPORATE SOURCE: Pharm. Res. Dev., Hoffmann-La Roche Inc., Nutley, NJ,
07110, USA
SOURCE: International Journal of Pharmaceutics (1994), 106(1),
15-23
CODEN: IJPHDE; ISSN: 0378-5173
DOCUMENT TYPE: Journal
LANGUAGE: English

TI Self-emulsifying drug delivery systems (**SEDDS**) with
polyglycolized glycerides for improving in vitro dissolution and oral
absorption of lipophilic drugs

AB The ability of polyglycolized glycerides (PGG) with varying **fatty acid** and polyethylene glycol (PEG) chain lengths to produce the self-emulsification of oil in water has been investigated. The quality of the resulting emulsions depends on the oil and emulsifier pair selected. These self-emulsifying drug delivery systems (**SEDDS**) were prepd. using various concns. of PGG as emulsifiers. Two oils, a medium-chain triglyceride (Neobee M5) and peanut oil, were chosen as the vehicle for the drug. A lipophilic drug with excellent oil soly. was selected for this study. The droplet size distribution, the release rate of the drug and the oil/water partition coeff. (PCo/w) of the drug in these systems were evaluated for the **SEDDS** obtained. The results indicate that PGG are effective emulsifiers for **SEDDS**. Droplet particle size in combination with droplet polarity in the emulsion are prerequisites for efficient **SEDDS**. The PCo/w of the drug from these **SEDDS** is helpful in evaluating these properties. A phase diagram was used to obtain the optimum concns. of drug, oil and emulsifying agent. The results obtained with PGG were compared with previously reported **SEDDS** for the efficiency of drug release (Bachynsky et al., 1989). In vitro dissoln. and in vivo absorption of a lipophilic drug from **SEDDS** are compared with those properties of other dosage forms.

IT **Fatty acids**, properties

RL: PRP (Properties)

(chains of, of polyglycolized glycerides in oral emulsions, drug release in relation to)

IT Chains, chemical

(of **fatty acids**, of polyglycolized glycerides in oral emulsions, drug release in relation to)

=> s (15 or 18) and (steroid or ketaconazole or itraconazole or paclitaxel)

L9 0 (L5 OR L8) AND (STEROID OR KETACONZOLE OR ITRACONZOLE OR PACLI TAXEL)

=> s (15 or 18) and (lipophilic or water insoluble or poorly soluble or insoluble) (2a) (active or drug or compound)

L10 6 (L5 OR L8) AND (LIPOPHILIC OR WATER INSOLUBLE OR POORLY SOLUBLE OR INSOLUBLE) (2A) (ACTIVE OR DRUG OR COMPOUND)

=> s l10 and progesterone or cyclosporin

L11 31993 L10 AND PROGESTERONE OR CYCLOSPORIN

=> s l10 and (progesterone or cyclosporin)

L12 0 L10 AND (PROGESTERONE OR CYCLOSPORIN)

=> s (18) and (lipophilic or water insoluble or poorly soluble or insoluble) (2a) (active or drug or compound)

L13 2 (L8) AND (LIPOPHILIC OR WATER INSOLUBLE OR POORLY SOLUBLE OR INSOLUBLE) (2A) (ACTIVE OR DRUG OR COMPOUND)

=> d l13 ibib kwic 1-

YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):y

L13 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:69677 CAPLUS

DOCUMENT NUMBER: 134:300722

TITLE: Self-emulsifying drug delivery systems (**SEDDS**) of coenzyme Q10: formulation development and bioavailability assessment

AUTHOR(S): Kommuru, T. R.; Gurley, B.; Khan, M. A.; Reddy, I. K.

CORPORATE SOURCE: School of Pharmacy, University of Louisiana at Monroe, Monroe, LA, 71209, USA

SOURCE: International Journal of Pharmaceutics (2001), 212(2),

233-246

CODEN: IJPHDE; ISSN: 0378-5173

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Self-emulsifying drug delivery systems (**SEDDS**) of coenzyme Q10: formulation development and bioavailability assessment

AB The goals of these investigations are to develop and characterize self-emulsifying drug delivery systems (**SEDDS**) of coenzyme Q10 (CoQ10), using polyglycolized glycerides (PGG) as emulsifiers and to evaluate their bioavailability in dogs. Soly. of CoQ10 was detd. in various oils and surfactants. **SEDDS** consisted of oil, a surfactant and a cosurfactant. Four types of self-emulsifying formulations were prepd. by using 2 oils (Myvacet 9-45 and Captex-200), 2 emulsifiers (Labrafac CM-10 and Labrasol) and a cosurfactant (lauroglycol). In all the formulations, the level of CoQ10 was fixed at 5.66% of the vehicle. The in vitro self-emulsification properties and droplet size anal. of these formulations upon their addn. to water under mild agitation conditions were studied. Pseudo-ternary phase diagrams were constructed identifying the efficient self-emulsification region. From these studies, an optimized formulation was selected and its bioavailability was compared with a powder formulation in dogs. Medium-chain oils and Myvacet 9-45 provided higher soly. than long chain oils. Efficient and better self-emulsification processes were obsd. for the systems contg. Labrafac CM-10 than formulations contg. Labrasol. Addn. of a cosurfactant improved the spontaneity of self-emulsification. From these studies, an optimized formulation consisting of Myvacet 9-45 (40%), Labrasol (50%) and lauroglycol (10%) was selected for its bioavailability assessment. A 2-fold increase in the bioavailability was obsd. for the self-emulsifying system compared to a powder formulation. **SEDDS** improved the bioavailability of CoQ10 significantly. The data suggest the potential use of **SEDDS** to provide an efficient way of improving oral absorption of **lipophilic drugs**.

IT **Fatty acids**, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (C8-10, esters with propylene glycol; formulation development and bioavailability assessment self-emulsifying drug delivery systems of coenzyme Q10)

L13 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:330990 CAPLUS

DOCUMENT NUMBER: 120:330990

TITLE: Self-emulsifying drug delivery systems (**SEDDS**) with polyglycolized glycerides for improving in vitro dissolution and oral absorption of **lipophilic drugs**

AUTHOR(S): Shah, N. H.; Carvajal, M. T.; Patel, C. I.; Infeld, M. H.; Malick, A. W.

CORPORATE SOURCE: Pharm. Res. Dev., Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA

SOURCE: International Journal of Pharmaceutics (1994), 106(1), 15-23

CODEN: IJPHDE; ISSN: 0378-5173

DOCUMENT TYPE: Journal

LANGUAGE: English

TI Self-emulsifying drug delivery systems (**SEDDS**) with polyglycolized glycerides for improving in vitro dissolution and oral absorption of **lipophilic drugs**

AB The ability of polyglycolized glycerides (PGG) with varying **fatty acid** and polyethylene glycol (PEG) chain lengths to produce the self-emulsification of oil in water has been investigated. The quality of

the resulting emulsions depends on the oil and emulsifier pair selected. These self-emulsifying drug delivery systems (**SEDDS**) were prepd. using various concns. of PGG as emulsifiers. Two oils, a medium-chain triglyceride (Neobee M5) and peanut oil, were chosen as the vehicle for the drug. A **lipophilic drug** with excellent oil soly. was selected for this study. The droplet size distribution, the release rate of the drug and the oil/water partition coeff. (PCo/w) of the drug in these systems were evaluated for the **SEDDS** obtained. The results indicate that PGG are effective emulsifiers for **SEDDS**. Droplet particle size in combination with droplet polarity in the emulsion are prerequisites for efficient **SEDDS**. The PCo/w of the drug from these **SEDDS** is helpful in evaluating these properties. A phase diagram was used to obtain the optimum concns. of drug, oil and emulsifying agent. The results obtained with PGG were compared with previously reported **SEDDS** for the efficiency of drug release (Bachynsky et al., 1989). In vitro dissoln. and in vivo absorption of a **lipophilic drug** from **SEDDS** are compared with those properties of other dosage forms.

- ST polyglycolized glyceride emulsifier drug delivery system; emulsification polyglycolized glyceride drug delivery system; **lipophilic drug** dissoln oral bioavailability emulsion
- IT **Fatty acids**, properties
 - RL: PRP (Properties)
 - (chains of, of polyglycolized glycerides in oral emulsions, drug release in relation to)
- IT Chains, chemical
 - (of **fatty acids**, of polyglycolized glycerides in oral emulsions, drug release in relation to)
- IT Solution rate
 - (of **lipophilic drug**, from oral emulsions contg. polyglycolized glycerides)
- IT **Drug** bioavailability
 - (of **lipophilic drugs**, from oral emulsions contg. polyglycolized glycerides)
- IT Glycerides, compounds
 - RL: BIOL (Biological study)
 - (polyglycolized, self-emulsifying oral drug delivery systems contg., **lipophilic drug** dissoln. and oral absorption from)
- IT Peanut oil
 - RL: BIOL (Biological study)
 - (self-emulsifying oral drug delivery systems contg. polyglycolized glycerides and, **lipophilic drug** dissoln. and oral absorption from)
- IT Glycerides, biological studies
 - RL: BIOL (Biological study)
 - (C8-10, self-emulsifying oral drug delivery systems contg. polyglycolized glycerides and, **lipophilic drug** dissoln. and oral absorption from)
- IT Glycerides, compounds
 - RL: BIOL (Biological study)
 - (C8-10, ethoxylated, self-emulsifying oral drug delivery systems contg., **lipophilic drug** dissoln. and oral absorption from)
- IT Glycerides, biological studies
 - RL: BIOL (Biological study)
 - (C8-12 mono- and di- and tri-, self-emulsifying oral drug delivery systems contg., **lipophilic drug** dissoln. and oral absorption from)
- IT Fats and Glyceridic oils
 - RL: BIOL (Biological study)
 - (apricot kernel, ethoxylated, self-emulsifying oral drug delivery systems contg., **lipophilic drug** dissoln. and oral absorption from)

IT Pharmaceutical dosage forms
(emulsions, oral, self-emulsifying, polyglycolized glycerides as
emulsifying agents-contg., **lipophilic drugs**
absorption and dissoln. from)

IT Corn oil
RL: BIOL (Biological study)
(ethoxylated, self-emulsifying oral drug delivery systems contg.,
lipophilic drug dissoln. and oral absorption from)

IT 9005-65-6, Polysorbate 80 68958-64-5, Tagat TO
RL: BIOL (Biological study)
(self-emulsifying oral drug delivery systems contg., **lipophilic**
drug dissoln. and oral absorption from)

=> s drug deliver (p) (insoluble or lipophilic or hydrophobic) (p) (pvp or kollidon
or polyvinylpyrrolidone)

L14 0 DRUG DELIVER (P) (INSOLUBLE OR LIPOPHILIC OR HYDROPHOBIC) (P)
(PVP OR KOLLIDON OR POLYVINYLPYRROLIDONE)

=> s drug delivery (p) (insoluble or lipophilic or hydrophobic) (p) (pvp or
kollidon or polyvinylpyrrolidone)

L15 13 DRUG DELIVERY (P) (INSOLUBLE OR LIPOPHILIC OR HYDROPHOBIC) (P)
(PVP OR KOLLIDON OR POLYVINYLPYRROLIDONE)

=> d his full

(FILE 'HOME' ENTERED AT 16:29:36 ON 09 JAN 2003)

FILE 'CAPLUS, MEDLINE' ENTERED AT 16:29:51 ON 09 JAN 2003

L1 20 SEA ABB=ON PLU=ON (SELF EMULSIFYING DRUG DELIVERY SYSTEM OR
SEDDS)
L2 0 SEA ABB=ON PLU=ON L1 (P) PVP
L3 0 SEA ABB=ON PLU=ON L1 (P) POLYVINYLPYRROLIDONE
L4 0 SEA ABB=ON PLU=ON L1 AND POLYVINYLPYRROLIDONE
L5 17 DUP REM L1 (3 DUPLICATES REMOVED)
D L5 1- IBIB KWIC
L6 0 SEA ABB=ON PLU=ON L5 AND KOLLIDON
L7 0 SEA ABB=ON PLU=ON L5 AND (HEXANOIC OR DECANOIC OR OCTANOIC
OR NONAIC OR LINOLEIC OR OLEIC OR LAURIC OR PALMITIC) (2A)
ACID
L8 2 SEA ABB=ON PLU=ON L5 AND FATTY (2A) ACID
D L8 IBIB KWIC 1-
L9 0 SEA ABB=ON PLU=ON (L5 OR L8) AND (STEROID OR KETACONZOLE OR
ITRACONZOLE OR PACLITAXEL)
L10 6 SEA ABB=ON PLU=ON (L5 OR L8) AND (LIPOPHILIC OR WATER
INSOLUBLE OR POORLY SOLUBLE OR INSOLUBLE) (2A) (ACTIVE OR DRUG
OR COMPOUND)
L11 31993 SEA ABB=ON PLU=ON L10 AND PROGESTERONE OR CYCLOSPORIN
L12 0 SEA ABB=ON PLU=ON L10 AND (PROGESTERONE OR CYCLOSPORIN)
L13 2 SEA ABB=ON PLU=ON (L8) AND (LIPOPHILIC OR WATER INSOLUBLE OR
POORLY SOLUBLE OR INSOLUBLE) (2A) (ACTIVE OR DRUG OR COMPOUND)
D L13 IBIB KWIC 1-
L14 0 SEA ABB=ON PLU=ON DRUG DELIVER (P) (INSOLUBLE OR LIPOPHILIC
OR HYDROPHOBIC) (P) (PVP OR KOLLIDON OR POLYVINYLPYRROLIDONE)
L15 13 SEA ABB=ON PLU=ON DRUG DELIVERY (P) (INSOLUBLE OR LIPOPHILIC
OR HYDROPHOBIC) (P) (PVP OR KOLLIDON OR POLYVINYLPYRROLIDONE)

FILE HOME

FILE CAPLUS

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FILE COVERS 1907 - 9 Jan 2003 VOL 138 ISS 2
FILE LAST UPDATED: 8 Jan 2003 (20030108/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

FILE MEDLINE

FILE LAST UPDATED: 8 JAN 2003 (20030108/UP). FILE COVERS 1958 TO DATE.

On June 9, 2002, MEDLINE was reloaded. See HELP RLOAD for details.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2003 vocabulary. See <http://www.nlm.nih.gov/mesh/summ2003.html> for a description on changes.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l15 and (micelle or emulsion)

L16 1 L15 AND (MICELLE OR EMULSION)

=> d l16 kwic

L16 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS

AB A self-emulsifying **drug delivery** system for extremely water-**insol.**, **lipophilic** compds. is disclosed.

Self-emulsifying **drug delivery** systems contg.

PVP achieved 10-15% oral bioavailability of 3-[(2,4-dimethylpyrrol-5-yl)methylene]-2-indolinone compared to tablet and oil suspension formulations showing only 0-1% bioavailability.

IT Drug delivery systems

(**emulsions**; self-emulsifying drug delivery systems for extremely water-**insol.** lipophilic drugs)

IT 9003-39-8, **Pvp**

RL: BSU (Biological study, unclassified); MOA (Modifier or additive use);

THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(self-emulsifying **drug delivery** systems for extremely water-**insol.** **lipophilic** drugs)

=> d l16 kwic ibib

L16 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS

AB A self-emulsifying **drug delivery** system for extremely water-**insol.**, **lipophilic** compds. is disclosed.

Self-emulsifying **drug delivery** systems contg.

PVP achieved 10-15% oral bioavailability of 3-[(2,4-dimethylpyrrol-5-yl)methylene]-2-indolinone compared to tablet and oil suspension

formulations showing only 0-1% bioavailability.

IT Drug delivery systems

(**emulsions**; self-emulsifying drug delivery systems for
extremely water-insol. lipophilic drugs)

IT 9003-39-8, **Pvp**

RL: BSU (Biological study, unclassified); MOA (Modifier or additive use);
THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(self-emulsifying **drug delivery** systems for
extremely water-**insol. lipophilic** drugs)

ACCESSION NUMBER: 2002:89818 CAPLUS

DOCUMENT NUMBER: 136:139851

TITLE: Self-emulsifying drug delivery systems for extremely
water-insoluble, lipophilic drugs

INVENTOR(S): Gao, Ping; Morozowich, Walter; Shenoy, Narmada

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA; Sugen, Inc.

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002007712	A2	20020131	WO 2001-US23140	20010720
WO 2002007712	A3	20020613		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002119198 A1 20020829 US 2001-909691 20010720

PRIORITY APPLN. INFO.: US 2000-220376P P 20000724

OTHER SOURCE(S): MARPAT 136:139851

=> dup

ENTER REMOVE, IDENTIFY, ONLY, OR (?):rem

ENTER L# LIST OR (END):l15

PROCESSING COMPLETED FOR L15

L17 12 DUP REM L15 (1 DUPLICATE REMOVED)

=> d l17 ibib kwic 1-

YOU HAVE REQUESTED DATA FROM 12 ANSWERS - CONTINUE? Y/(N):y

L17 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:849479 CAPLUS

DOCUMENT NUMBER: 137:358130

TITLE: Two-phase, water-absorbent bioadhesive composition
containing a hydrophobic and a hydrophilic phase

INVENTOR(S): Feldstein, Mikhail M.; Cleary, Gary W.

PATENT ASSIGNEE(S): A.V. Topchiev Institute of Petrochemical Synthesis,
Russia

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002087642	A2	200211107	WO 2002-US13680	20020501

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-288024P P 20010501

AB An adhesive compn. is provided that contains both a **hydrophobic** phase and a hydrophilic phase, where the **hydrophobic** phase is composed of a crosslinked **hydrophobic** polymer compn. and the hydrophilic phase is a water-absorbent blend of a hydrophilic polymer and a complementary oligomer capable of crosslinking the hydrophilic polymer through hydrogen bonding, ionic bonding, and/or covalent bonding. The compn. is useful as a bioadhesive, for affixing **drug delivery** systems, wound dressings, bandages, cushions, or the like to a body surface such as skin or mucosal tissue. A pressure-sensitive adhesive compn. was prepd. based on a cured blend of polyisobutylene with butyl rubber with **PVP**-PEG water sorbents, and optionally with cellulose-based water sorbents, to form a 2-phase adhesive matrix.

L17 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:89818 CAPLUS

DOCUMENT NUMBER: 136:139851

TITLE: Self-emulsifying drug delivery systems for extremely water-insoluble, lipophilic drugs

INVENTOR(S): Gao, Ping; Morozowich, Walter; Shenoy, Narmada

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA; Sugen, Inc.

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002007712	A2	20020131	WO 2001-US23140	20010720
WO 2002007712	A3	20020613		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002119198 A1 20020829 US 2001-909691 20010720

PRIORITY APPLN. INFO.: US 2000-220376P P 20000724

OTHER SOURCE(S): MARPAT 136:139851

AB A self-emulsifying **drug delivery** system for extremely water-insol., **lipophilic** compds. is disclosed.

Self-emulsifying **drug delivery** systems contg.

PVP achieved 10-15% oral bioavailability of 3-[(2,4-dimethylpyrrol-5-yl)methylene]-2-indolinone compared to tablet and oil suspension

formulations showing only 0-1% bioavailability.

IT 9003-39-8, **Pvp**

RL: BSU (Biological study, unclassified); MOA (Modifier or additive use);
THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(self-emulsifying **drug delivery** systems for
extremely water-**insol. lipophilic** drugs)

L17 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:850916 CAPLUS

DOCUMENT NUMBER: 135:376770

TITLE: Hydrogel composition for transdermal drug delivery

INVENTOR(S): Kim, Ho Chin; Yoon, Hye Jeong

PATENT ASSIGNEE(S): Samyang Corporation, S. Korea

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087276	A1	20011122	WO 2001-KR783	20010515
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: KR 2000-26091 A 20000516

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The present invention relates to a hydrogel compn. for transdermal **drug delivery**, more specifically to a hydrogel compn. for transdermal **drug delivery** contg. acrylate polymers such as acrylic acid polymer, methacrylic acid polymer, alkyl acrylate polymer, alkyl methacrylate polymer or copolymers which enable both hydrophilic and **lipophilic** permeation enhancers to be applicable in the hydrogel compn. in order to effectively control skin penetration of drugs. Thus, a formulation contained buprenorphine-HCl 2.0, propylene glycol 19.0, triacetin 8.5, EtOH 14.0, lauryl alc. 0.5, glycerol 4.0, Kollicoat MAE 30D 8.3, water 5.7, hydroxyethyl cellulose 4.0, **Kollidon**-90 10.0, and PVA 24.0%.

L17 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:346976 CAPLUS

TITLE: Release of adriamycin from polymeric nanoparticle composed of poly (ϵ -caprolactone) and poly (vinylpyrrolidone) in vitro

AUTHOR(S): Chung, T. W.; Cho, K. Y.; Nah, J. W.; Akaike, T.; Cho, C. S.

CORPORATE SOURCE: School of Agricultural Biotechnology, Seoul National University, Suwon, 441-744, S. Korea

SOURCE: Proceedings - 28th International Symposium on Controlled Release of Bioactive Materials and 4th Consumer & Diversified Products Conference, San Diego, CA, United States, June 23-27, 2001 (2001), Volume 1, 528-529. Controlled Release Society: Minneapolis, Minn.

CODEN: 69CNY8

DOCUMENT TYPE: Conference
LANGUAGE: English
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Amphiphilic biodegradable polymeric nanoparticles composed of poly
(.epsilon.-caprolactone) (PCL) as a **hydrophobic** core and poly
(vinylpyrrolidone) (**PVP**) as a hydrophilic shell were prepd.
using difiltration method in an aq. medium. The av. sizes of the
nanoparticles are in the range from 100 to 200 nm. This nanoparticle is
expected to have wide application as a novel carrier in the field of
sustained **drug delivery**.

L17 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1
ACCESSION NUMBER: 2000:285584 CAPLUS
DOCUMENT NUMBER: 133:8999
TITLE: Modeling of the drug delivery from a hydrophilic
transdermal therapeutic system across polymer membrane
AUTHOR(S): Iordanskii, A. L.; Feldstein, M. M.; Markin, V. S.;
Hadgraft, J.; Plate, N. A.
CORPORATE SOURCE: N.N. Semenov Institute of Chemical Physics of the
Russian Academy of Sciences, Moscow, Russia
SOURCE: European Journal of Pharmaceutics and Biopharmaceutics
(2000), 49(3), 287-293
CODEN: EJPBEL; ISSN: 0939-6411
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB A math. simulation is presented which describes the in vitro **drug**
delivery kinetics from hydrophilic adhesive water-sol.
polyvinylpyrrolidone (PVP)-PEG matrixes of transdermal
therapeutic systems (TTS) across skin-imitating **hydrophobic**
Carbosil membranes. Propranolol was employed as the test drug. The
contributions of the following physicochem. determinants to **drug**
delivery rate control were estd.: the drug diffusion coeffs. both
in the matrix and the membrane; the membrane-matrix drug partition coeff.:
the drug concn. in the matrix and the membrane thickness. Drug transfer
from the hydrophilic matrix across the membrane was controlled by the drug
partitioning from the matrix into the membrane. The best correlation
between simulation data and exptl. results was obtained when the effect of
membrane hydration is taken into consideration during in vitro drug
release.

L17 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:722882 CAPLUS
DOCUMENT NUMBER: 131:342008
TITLE: Matrixes formed of polymer and hydrophobic compounds
for use in drug delivery
INVENTOR(S): Bernstein, Howard; Chickering, Donald; Khattak,
Sarwat; Straub, Julie
PATENT ASSIGNEE(S): Acusphere, Inc., USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9956731	A1	19991111	WO 1999-US5187	19990308
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				

DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
 KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
 NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
 UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2001043948	A1	20011122	US 1999-255179	19990222
US 6423345	B2	20020723		
CA 2329875	AA	19991111	CA 1999-2329875	19990308
AU 9929954	A1	19991123	AU 1999-29954	19990308
AU 746696	B2	20020502		
BR 9910340	A	20010109	BR 1999-10340	19990308
EP 1073422	A1	20010207	EP 1999-911269	19990308

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI

JP 2002513752	T2	20020514	JP 2000-546758	19990308
NO 2000005452	A	20001229	NO 2000-5452	20001027
US 2001000230	A1	20010412	US 2000-731412	20001206
US 2001000470	A1	20010426	US 2000-730694	20001206

PRIORITY APPLN. INFO.:

US 1998-83636P	P	19980430
US 1999-255179	A	19990222
WO 1999-US5187	W	19990308

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 57-88-5, Cholesterol, biological studies 2644-64-6,
 Dipalmitoylphosphatidylcholine 4539-70-2, Distearoylphosphatidylcholine
 9002-89-5, Polyvinyl alcohol 9003-01-4, Polyacrylic acid 9003-20-7,
 Polyvinyl acetate 9003-39-8, **Pvp** 9003-53-6, Polystyrene
 9004-34-6, Cellulose, biological studies 18656-38-7,
 Dimyristoylphosphatidylcholine 18656-40-1, Dilauroylphosphatidylcholine
 24937-78-8, Eva 25053-23-0, Butanoic acid, homopolymer 34346-01-5,
 Glycolic acid-lactic acid copolymer 64792-89-8,
 Dibehenoylphosphatidylcholine 67896-63-3, Dipentadecanoylphosphatidylcho
 line 68737-67-7, Dioleoylphosphatidylcholine 70524-20-8,
 Caprolactone-lactide copolymer 71259-34-2 83172-32-1,
 Ditricosanoylphosphatidylcholine 115489-44-6, Pentanoic acid,
 homopolymer 154897-15-1, Dilignoceroylphosphatidylcholine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (matrixes formed from polymers and **hydrophobic** compds. for
drug delivery)

L17 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:4851 CAPLUS

DOCUMENT NUMBER: 128:110295

TITLE: Fundamental study on molecular design of bioconjugated
 drugs with water-soluble polymeric modifiers:
 influence of electric charge on pharmacokinetics of
 water-soluble polymers

AUTHOR(S): Kodaira, Hiroshi; Kaneda, Yoshihisa; Yamamoto, Yoko;
 Namba, Takashi; Tsutsumi, Yasuo; Hirano, Takashi;
 Mayumi, Tadanori

CORPORATE SOURCE: Fac. Pharmaceutical Sci., Osaka Univ., Suita, 565,
 Japan

SOURCE: Drug Delivery System (1997), 12(6), 431-437

CODEN: DDSYEI; ISSN: 0913-5006

PUBLISHER: Nippon DDS Gakkai Jimukyoku

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB In order to achieve optimum **drug delivery** for clin.
 application, the bioconjugated drugs with polymeric modifiers must be
 designed to show desirable biopharmaceutical characteristics.
 Pharmacokinetics of bioconjugated drugs is greatly affected by

physicochem. characteristics of polymeric modifiers themselves. Therefore, it is very important to study the relationships between pharmacokinetics of polymeric modifiers and their physicochem. properties typified with mol. wt., elec. charge, and hydrophilic-lipophilic balance and so on. In the present study, we synthesized two anionized **polyvinylpyrrolidone (PVP)** by radical copolymn. between vinylpyrrolidone monomer and acrylic acid or vinylsulfonic acid co-monomer to assess the influence of anionic groups on pharmacokinetics of polymeric modifiers. The resulting anionized **PVPs** were eliminated from the circulation more rapidly than nonionic **PVP**. An increase of neg. charge on polymeric modifier occurred a decrease of circulation life-time. In addn., though **PVP** showed no specific tissue distribution, anionized **PVP** was markedly accumulated to kidney at 3 h after i.v. injection. These fundamental approach will enable to chose the optimum polymeric modifiers for features of drugs or for purposes of bioconjugation.

L17 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:522479 CAPLUS

DOCUMENT NUMBER: 125:204294

TITLE: A pH-sensitive gel as a topical drug delivery system

AUTHOR(S): Sun, Y.; Liu, J.C.; Wang, J.

CORPORATE SOURCE: Johnson & Johnson Topical Formulation and Drug Delivery Research Center, J&J Consumer Products Worldwide, Skillman, NJ, 08558, USA

SOURCE: Proceedings of the International Symposium on Controlled Release of Bioactive Materials (1996), 23rd, 775-776

CODEN: PCRMEY; ISSN: 1022-0178

PUBLISHER: Controlled Release Society, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB CM-cellulose and **PVP** formed a water-insol. network at low pH values and the structure collapsed at pH values above 6. The hydrophilic gels contg. the blend of CM-cellulose and **PVP** and hydroxyethyl cellulose could be used as a pH-sensitive **drug delivery** system.

L17 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:310723 CAPLUS

DOCUMENT NUMBER: 124:352488

TITLE: Hydrophilic polymeric matrixes for enhanced transdermal drug delivery

AUTHOR(S): Feldstein, M. M.; Tohmakhchi, V. N.; Malkhazov, L. B.; Vasiliev, A. E.; Plate, N. A.

CORPORATE SOURCE: Lekbiotech' R and D Center, J.S.Co.Biotechnologia', 8, Nauchny proezd, Moscow, 117246, Russia

SOURCE: International Journal of Pharmaceutics (1996), 131(2), BCC

CODEN: IJPHDE; ISSN: 0378-5173

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB For many drugs with various chem. structures, delivery rates from the hydrophilic **polyvinylpyrrolidone (PVP)**-polyethylene oxide (PEO) based pressure-sensitive adhesive (PSA) matrixes of transdermal therapeutic systems (TTS) are higher compared to the **hydrophobic** TTS matrixes. Delivery of propranolol, glyceryl trinitrate (GTN) and isosorbide dinitrate (ISDN) from the hydrophilic water sol. TTS matrix across human cadaver skin epidermis or skin-imitating polydimethylsiloxane-polycarbonate block copolymer (Carbosil) membrane in vitro is characterized by high rate values and zero-order **drug delivery** kinetics up to the point of

75-85% drug release from their initial contents in matrix. Both in vitro and in vivo **drug delivery** rates from the TTS hydrophilic diffusion matrix are controlled by the skin or membrane permeability and may be described by Fick's law. The contributions of various physicochem. determinants to the control of transdermal **drug delivery** kinetics are discussed. Pharmacokinetic and pharmacodynamic properties of hydrophilic TTS matrix with propranolol, GTN and ISDN are described.

L17 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:542265 CAPLUS
DOCUMENT NUMBER: 115:142265
TITLE: Controlled-delivery osmotic pharmaceutical dosage form for delivering soluble or insoluble drugs
INVENTOR(S): Ayer, Atul Devdatt; Kuczynski, Anthony L.; Wong, Patrick S. L.
PATENT ASSIGNEE(S): Alza Corp., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9103235	A1	19910321	WO 1990-US4992	19900831
W: AU, FI, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
US 5035897	A	19910730	US 1989-403523	19890905
CA 2024505	AA	19910306	CA 1990-2024505	19900831
AU 9064299	A1	19910408	AU 1990-64299	19900831
AU 629053	B2	19920924		
ZA 9006973	A	19910626	ZA 1990-6973	19900831
EP 490987	A1	19920624	EP 1990-914278	19900831
EP 490987	B1	19931027		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 05500223	T2	19930121	JP 1990-513417	19900831
JP 2840446	B2	19981224		
AT 96309	E	19931115	AT 1990-914278	19900831
ES 2045945	T3	19940116	ES 1990-914278	19900831
NO 9200785	A	19920302	NO 1992-785	19920228
PRIORITY APPLN. INFO.:			US 1989-403523	19890905
			EP 1990-914278	19900831
			WO 1990-US4992	19900831

IT Pharmaceutical dosage forms
(osmotic devices, controlled-release, with **PVP**-coated compartmentalized granules for sol. and **insol. drug delivery**)
IT 9004-64-2, Hydroxypropyl cellulose
RL: BIOL (Biological study)
(in granules compartmentalized controlled-release osmotic pharmaceutical with **PVP** granule coating for sol. or **insol. drug delivery**)

L17 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1991:614842 CAPLUS
DOCUMENT NUMBER: 115:214842
TITLE: Resin-modulated drug delivery device for delivery of HMG-CoA reductase inhibitor salts
INVENTOR(S): McClelland, Gregory A.; Zentner, Gaylen M.; Pogany, Stefano A.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 20 pp. Cont.-in-part of U.S. 4,795,644.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4976967	A	19901211	US 1988-274172	19881121
US 4795644	A	19890103	US 1987-81090	19870803
US 4814183	A	19890321	US 1987-91571	19870831
PRIORITY APPLN. INFO.:			US 1987-81090	19870803
			US 1987-91571	19870831

OTHER SOURCE(S): MARPAT 115:214842

AB A **drug delivery** device for dispensing HMG-CoA reductase inhibitor salts, known as active antihypercholesterolemic agents, to all regions of the gastrointestinal tract, regardless of the pH, at a controlled rate, comprises a core compartment that contains a charged, water-insol., diffusible, ionized HMG-CoA reductase inhibitor salt surrounded by a substantially impermeable water-insol. semipermeable wall having a release means or a porous water-insol. wall prepd. from a polymer that is permeable to water but substantially impermeable to solute and water-leached pore-forming additives dispersed throughout the wall. The HMG-CoA reductase inhibitor salts are hexahydronaphthalenylheptanoate (I) [R1 = (un)substituted C1-10 alkyl, C3-8 cycloalkyl; R2 = Me, substituted C1-10 alkyl, C1-5 alkoxy carbonyl, OH; a, b, c, and d each represent single bonds or one of them represents a double bond or both a and c or both b and d represent double bonds]. A mixt. contg. 7-[1,2,6,7,8,8a(R)-hexahydro-2(S),6(R)-dimethyl-8(S)-(2,2-dimethylbutyryloxy)-naphthalenyl-1(S)]-3(R),5(R)-dihydroxyheptanoate tris(hydroxymethyl)methylammonium salt (II), tromethamine-free base, mannitol, Dowex 50X 8-100, **polyvinylpyrrolidone**, and BHA (at the ratio of 1:4.13:3.94:1.97:0.98:0.0024) was wet-granulated and the dried granules were lubricated with Mg stearate (0.5%) and compressed into 305 mg core compartments. Then, the core was spray-coated with a compn. contg. 54 g cellulose acetate (39% acetyl content), 18 g cellulose acetate (32% acetyl content), 52 g sorbitol, and 14.4 g polyethylene glycol-400 dissolved in solvents. The release of II into a pH 1.2 HCl buffer and pH 8.0 phosphate buffer was const. up to apprx.70% release.

L17 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:187842 CAPLUS
 DOCUMENT NUMBER: 110:187842
 TITLE: Explorations in cell biology and pharmacology using synthetic polymers
 AUTHOR(S): Lloyd, J. B.
 CORPORATE SOURCE: Biochem. Res. Lab., Univ. Keele, Staffordshire, ST5 5BG, UK
 SOURCE: Angewandte Makromolekulare Chemie (1989), 166-167, 191-200
 CODEN: ANMCBO; ISSN: 0003-3146
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English

AB A review with 22 refs., of the author's work. Synthetic polymers were used as tools to probe endocytosis and lysosome function. Their particular value lies in their well-defined chem. constitution and in the possibility of custom-synthesizing mols. with desired characteristics. **Polyvinylpyrrolidone**, Percoll, and polystyrene beads were 125I-labeled and used to study pinocytosis and phagocytosis. Derivatized poly(aspartamide), poly(hydroxypropylmethacrylamide), and a polylysine-poly(ethylene oxide) block copolymer were used to investigate

the effects of **hydrophobic** moieties and sugar residues on substrate selection in pinocytosis. The effect of cationic moieties was studied using vinylpyrrolidone-vinylamine copolymers. Poly(hydroxypropylmethacrylamide) with certain oligopeptide side-chains was shown to be susceptible to lysosomal peptidases. Ethylene glycol oligomers were used to study the basal permeability of the lysosome membrane. Sol. macromols. have considerable potential in targeted **drug-delivery**. Drugs attached to appropriate polymers by covalent links that are susceptible to lysosomal enzymes can deliver drug to target cells and avoid unwanted side-effects. Synthetic macromols. have several advantages over their natural counterparts: they are chem. more robust, less immunogenic, and easier and cheaper to prep. in bulk.

```
=> s (ketaconazole or itraconazole or pregesterone or paclitaxel)
L18      17129 (KETACONZOLE OR ITRACONAZOLE OR PREGESTERONE OR PACLITAXEL)

=> s (ketaconazole or itraconazole or pregesterone or paclitaxel) (p) (pvp or
polyvinylpyrrolidone or kollidon)
L19      12 (KETACONZOLE OR ITRACONAZOLE OR PREGESTERONE OR PACLITAXEL) (P)
        (PVP OR POLYVINYLPYRROLIDONE OR KOLLIDON)

=> s l19 and (HEXANOIC OR DECANOIC OR OCTANOIC OR NONAOIC OR LINOLEIC OR OLEIC OR
LAURIC OR PALMITIC)(a) acid ACID
L20      0 L19 AND (HEXANOIC OR DECANOIC OR OCTANOIC OR NONAOIC OR LINOLEIC
        OR OLEIC OR LAURIC OR PALMITIC) (A) ACID ACID

=> s l19 and (HEXANOIC OR DECANOIC OR OCTANOIC OR NONAOIC OR LINOLEIC OR OLEIC OR
LAURIC OR PALMITIC)(a) acid
L21      0 L19 AND (HEXANOIC OR DECANOIC OR OCTANOIC OR NONAOIC OR LINOLEIC
        OR OLEIC OR LAURIC OR PALMITIC) (A) ACID

=> s l19 and 9surfactant or emulsifier) and fatty acid
UNMATCHED RIGHT PARENTHESIS 'EMULSIFIER) AND'
The number of right parentheses in a query must be equal to the
number of left parentheses.

=> s l19 and (surfactant or emulsifier) and fatty acid
L22      0 L19 AND (SURFACTANT OR EMULSIFIER) AND FATTY ACID

=> dup
ENTER REMOVE, IDENTIFY, ONLY, OR (?):rem
ENTER L# LIST OR (END):l19
PROCESSING COMPLETED FOR L19
L23      11 DUP REM L19 (1 DUPLICATE REMOVED)

=> d l23 ibib kwic 1-
YOU HAVE REQUESTED DATA FROM 11 ANSWERS - CONTINUE? Y/(N):y
```

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L23 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER:      2002:964193 CAPLUS
TITLE:                  Itraconazole granulations for oral administration
INVENTOR(S):            Zerbe, Horst; Swettenham, Richard
PATENT ASSIGNEE(S):     Smatrix Technologies Inc., Can.
SOURCE:                 PCT Int. Appl., 28 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:          Patent
LANGUAGE:               English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
```

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100407	A1	20021219	WO 2002-CA894	20020612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2001-297260P P 20010612

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 50-99-7, D-Glucose 57-11-4, Stearic acid 60-00-4, Edta 63-42-3, Lactose 69-65-8, Mannitol 69-79-4, Maltose 118-71-8, Maltol 127-40-2, Lutein 151-21-3, Sodium lauryl sulfate 502-65-8, Lycopene 532-32-1, Sodium benzoate 546-93-0, Magnesium carbonate 557-04-0, Magnesium stearate 557-05-1, Zinc stearate 585-88-6, Maltitol 1309-48-4, Magnesia 1327-43-1, Aluminum magnesium silicate 1343-88-0, Magnesium silicate 1592-23-0, Calcium stearate 4070-80-8, Sodium stearyl fumarate 7558-79-4, Disodium phosphate 7631-86-9, Silica 7681-57-4, Disodium disulfite 7757-93-9, Dibasic calcium phosphate 9000-01-5, Gum arabic 9000-11-7, CM-cellulose 9000-30-0, Guar gum 9000-65-1, Tragacanth 9002-89-5 9003-39-8, Pvp 9004-34-6, Cellulose 9004-53-9, Dextrin 9004-57-3, Ethyl cellulose 9004-62-0, Hydroxyethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, HPMC 9004-67-5, Methyl cellulose 9005-25-8, Starch 9005-32-7, Alginic acid 9005-38-3, Sodium alginate 11138-66-2, Xanthan gum 14807-96-6, Talc 14987-04-3, Magnesium trisilicate 25322-68-3, Peg 74811-65-7, Croscarmellose sodium 106392-12-5, Poloxamer

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(itraconazole granulations for oral administration)

L23 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:615379 CAPLUS

DOCUMENT NUMBER: 137:159351

TITLE: Oral itraconazole formulations

INVENTOR(S): Namburi, Ranga Raju; Kerr, John Elgin

PATENT ASSIGNEE(S): DSM N.V., Neth.

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062318	A2	20020815	WO 2002-NL80	20020201
WO 2002062318	A3	20021121		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002150620 A1 20021017 US 2001-933032 20010820
PRIORITY APPLN. INFO.: US 2001-266653P P 20010206
US 2001-933032 A 20010820

IT 64-17-5, Ethanol, biological studies 67-56-1, Methanol, biological studies 71-23-8, 1-Propanol, biological studies 71-36-3, 1-Butanol, biological studies 7647-01-0, Hydrochloric acid, biological studies 7664-93-9, Sulfuric acid, biological studies 7697-37-2, Nitric acid, biological studies 9003-39-8, **Pvp** 9004-34-6, Cellulose, biological studies 9004-64-2, Hydroxypropyl cellulose 9004-65-3, HPMC 9005-25-8, Starch, biological studies 10035-10-6, Hydrobromic acid, biological studies 13463-67-7, Titania, biological studies
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral **itraconazole** formulations)

L23 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:833058 CAPLUS
DOCUMENT NUMBER: 135:362595
TITLE: Gastric pH-independent pharmaceutical composition containing itraconazole with improved solubility
INVENTOR(S): Wang, Hun-Sik; Jang, Sun-Woo; Bae, Woong-Tak; Kim, Jeong-Hoon; Kwon, Jong-Won
PATENT ASSIGNEE(S): Dong A Pharma. Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085135	A1	20011115	WO 2001-KR657	20010420
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: KR 2000-21137 A 20000421
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 63-42-3, Lactose 69-65-8, Mannitol 557-04-0 7647-14-5, Sodium chloride, biological studies 7757-93-9, Calcium hydrogen phosphate 9002-89-5, Poly(vinyl alcohol) 9003-20-7, Poly(vinyl acetate) 9003-39-8, **PVP** 9004-32-4, Carboxymethyl cellulose, sodium salt 9004-32-4, Carboxymethyl cellulose 9004-34-6, Cellulose, biological studies 9004-34-6D, Cellulose, derivs., biological studies 9004-57-3, Ethyl cellulose 9004-62-0, Hydroxyethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, HPMC 9004-67-5, Methyl cellulose 9005-25-8, Starch, biological studies 9010-79-1, Ethylene-propylene copolymer 9032-42-2, Hydroxyethyl methyl cellulose 14807-96-6, Talc, biological studies 18641-57-1, Glyceryl behenate 37205-99-5, Carboxymethyl ethyl cellulose 106392-12-5, Poloxamer
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(gastric pH-independent pharmaceutical compn. contg. **itraconazole** with improved soly.)

L23 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:780613 CAPLUS
 DOCUMENT NUMBER: 135:322741
 TITLE: Targeted drug release devices
 INVENTOR(S): Whitbourne, Richard J.; Hulliher, Daniel; Violante, Michael R.; Wang, Frank; Zhang, Xianping
 PATENT ASSIGNEE(S): STS Biopolymers, Inc., USA
 SOURCE: PCT Int. Appl., 31 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001078626	A1	20011025	WO 2001-US12159	20010412

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002018795	A1	20020214	US 2001-834307	20010412
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PRIORITY APPLN. INFO.: US 2000-196781P P 20000413

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Generally, the present invention provides devices and methods for delivering high concns. of drugs, antibiotics, etc., to specific sites in a patient body, such as tumors and infected lesions. In one aspect of the present invention there are provided devices to accomplish the delivery of therapeutic agents and methods to accomplish the delivery by positioning a device in the body using minimally invasive techniques such as, e.g., catheterization or via trochar. The devices may contain a carrier substrate and a coating on the substrate. The carrier substrate provides structural integrity to the device and the coating thereon contains at least 1 layer of polymeric material contg. 1 or more drugs. Optionally, there may be a non-medicated binder coat between the carrier substrate and the medicated polymer layer. The medicated polymer layer may contain a hydrophilic/hydrophobic polymer compn. Thus, the following solns. were prepd: 55.5% soln. acrylate/carboxyl polymer 8.33, THF 39.58, cyclohexanone 41.60, PVP/VA polymer soln. 2.73, EtOH 1.37, and epoxy polymer soln. 1.20 g; the 2nd soln. contained epoxy polymer soln. 2.56, PVP/VA polymer soln. 2.79, 55.5% soln. of acrylate/carboxyl polymer 8.50, cyclohexanone 42.70, THF 36.70, EtOH 5.56, and paclitaxel 1.00 g.

L23 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:434866 CAPLUS
 DOCUMENT NUMBER: 135:37202
 TITLE: Compositions containing itraconazole with improved bioavailability and narrow intra- and inter-individual variation of its absorption
 INVENTOR(S): Kwon, Jong-won; Kim, Jung-hun; Wang, Hun-sik; Jang, Sun-woo; Bae, Woong-tak
 PATENT ASSIGNEE(S): Dong A Pharm. Co., Ltd., S. Korea
 SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001041765	A1	20010614	WO 1999-KR854	19991231

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: KR 1999-55802 A 19991208

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 121-54-0, Benzethonium chloride 151-21-3, Sodium lauryl sulfate, biological studies 577-11-7, Sodium docusate 8044-71-1, Cetrимide 9002-89-5, Poly(vinyl alcohol) 9003-20-7, Poly(vinyl acetate) 9003-39-8, **PVP** 9004-32-4, CMC 9004-32-4, Carboxymethyl cellulose sodium salt 9004-34-6D, Cellulose, derivs., biological studies 9004-57-3, Ethyl cellulose 9004-62-0, Hydroxyethyl cellulose 9004-65-3, HPMC 9004-67-5, Methyl cellulose 9010-79-1, Ethylene-propylene copolymer 9032-42-2, Hydroxyethyl methyl cellulose 25496-72-4, Glyceryl monooleate 27194-74-7, Propylene glycol monolaurate 31565-12-5, Propylene glycol monocaprylate 37205-99-5, Carboxymethyl ethyl cellulose 37353-59-6, HydroxyMethyl cellulose 67352-02-7 106392-12-5, Poloxamer 146478-45-7 331716-00-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compsn. contg. **itraconazole** with improved bioavailability and narrow intra- and inter-individual variation of absorption)

L23 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:134267 CAPLUS

DOCUMENT NUMBER: 136:156397

TITLE: Antifungal soft capsules

INVENTOR(S): Yang, Joo Hwan

PATENT ASSIGNEE(S): Suheung Capsule Co., Ltd., S. Korea

SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given

CODEN: KRXXA7

DOCUMENT TYPE: Patent

LANGUAGE: Korean

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2000032511	A	20000615	KR 1998-48983	19981116

PRIORITY APPLN. INFO.:	KR 1998-48983	19981116
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AB Soft capsules contg. one or more antifungal agents selected from **itraconazole**, griseofulvin, ketoconazole, terbinafine or naftifine, are provided which improve the absorption of the antifungal agent into the body system, enhance the bioavailability thereof and have excellent heat stability at room temp. The capsule comprises 10-50 wt.% of the antifungal agent, 0.1-10 wt.% of polyethylene glycol, 30-60 wt.% of surfactants having HLB value of 13-15 such as Labrasol or Cremophor RH40, 3-20 wt.% of Flurololeic WL 1173 as a cosurfactant having HLB value of 9-11, and 0.1-1 wt.% of **PVP** as dispersing agent. The ingredients excluding antifungal agent are blended and solubilized by heating up to about 60.degree. and then the content is cooled down to about 30-40.degree., then the antifungal agent is added, emulsified and coated with gelatin film.

L23 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1
 ACCESSION NUMBER: 2000:780486 CAPLUS
 DOCUMENT NUMBER: 134:61416
 TITLE: Investigation of dissolution enhancement of itraconazole by solid dispersion in superdisintegrants
 AUTHOR(S): Chowdary, K. P. R.; Rao, Sk. Srinivasa
 CORPORATE SOURCE: Industrial Pharmacy Division, Department of Pharmaceutical Sciences, Andhra University, Visakhapatnam, 530 003, India
 SOURCE: Drug Development and Industrial Pharmacy (2000), 26(11), 1207-1211
 CODEN: DDIPD8; ISSN: 0363-9045
 PUBLISHER: Marcel Dekker, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Solid dispersions of **itraconazole** (ITR) in lactose, microcryst. cellulose (MCC), and 3 superdisintegrants (Primogel, **Kollidon** CL, and Ac-Di-Sol) and their formulation into tablets were investigated with an objective of enhancing the dissoln. rate of ITR from tablet formulations. X-ray diffraction (XRD) and DSC were used to characterize the dispersions. A marked enhancement in the dissoln. rate of ITR was obsd. with all the excipients. The order for the excipients to enhance the dissoln. rate was Ac-Di-Sol >**Kollidon** CL >Primogel >MCC >lactose. Solid dispersions in superdisintegrants gave much higher rates of dissoln. than the dispersions in other excipients. Ac-Di-Sol gave the most improvement (28-fold) in the dissoln. rate of ITR at a 1:1 drug to excipient ratio. Solid dispersions in superdisintegrants could be formulated into tablets. These tablets, apart from fulfilling all official and other specifications, exhibited higher rates of dissoln. and dissoln. efficiency (DE) values. XRD indicated the presence of ITR in amorphous form in the dispersions. DSC indicated a weak interaction between ITR and the excipients. Micronization and conversion of the drug into the amorphous form and the fast disintegrating and dispersing action of the superdisintegrants contribute to the enhancement of the dissoln. rate of ITR from its solid dispersions in superdisintegrants and their corresponding tablet formulations.

IT 9063-38-1 74811-65-7, Ac-Di-Sol 76633-00-6, **Kollidon** CL
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (dissoln. enhancement of **itraconazole** by solid dispersion in superdisintegrants)

L23 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1999:439328 CAPLUS
 DOCUMENT NUMBER: 131:78452
 TITLE: Pharmaceutical compositions containing paclitaxel
 INVENTOR(S): Burchett, Mark K.; Coddington, Cynthia A.; Raghavan, Rajagopalan; Speicher, Earl R.
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: U.S., 5 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5922754	A	19990713	US 1998-165930	19981002
CA 2345729	AA	20000413	CA 1999-2345729	19990914
WO 2000020036	A1	20000413	WO 1999-US21024	19990914

W: AU, CA, JP

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE

AU 9958225 A1 20000426 AU 1999-58225 19990914

EP 1117440 A1 20010725 EP 1999-945661 19990914

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI

JP 2002526424 T2 20020820 JP 2000-573394 19990914

PRIORITY APPLN. INFO.: US 1998-165930 A 19981002

WO 1999-US21024 W 19990914

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 56-81-5, 1,2,3-Propanetriol, biological studies 64-17-5, Ethanol,
biological studies 77-92-9, biological studies 100-51-6, Benzyl
alcohol, biological studies 102-76-1, Triacetin 7732-18-5, Water,
biological studies 9003-39-8, **Pvp** 9005-63-4D, Sorbitan,
poly(oxy-1,2-ethanediyl) derivs., esters 25322-68-3D, Peg, esters
25322-68-3D, Peg, esters 25395-31-7, Diacetin 26446-35-5, Monoacetin
61909-81-7 106392-12-5, Pluronic

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL

(biological study); USES (Uses)

(pharmaceutical solns. contg. **paclitaxel**)

L23 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:620337 CAPLUS

DOCUMENT NUMBER: 132:26737

TITLE: Enhanced solubility and dissolution rate of
itraconazole by a solid dispersion technique

AUTHOR(S): Jung, J.-Y.; Yoo, S. D.; Lee, S.-H.; Kim, K.-H.; Yoon,
D.-S.; Lee, K.-H.

CORPORATE SOURCE: Formulation Research Laboratory, Choongwae Pharma Co.,
Kyunggi-do, S. Korea

SOURCE: International Journal of Pharmaceutics (1999), 187(2),
209-218

CODEN: IJPHDE; ISSN: 0378-5173

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 9003-39-8, **PVP** 9004-65-3, HPMC 25322-68-3 106392-12-5,
Poloxamer

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(soly. and dissoln. rate of **itraconazole** enhancement by solid
dispersion technique)

L23 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:562689 CAPLUS

DOCUMENT NUMBER: 136:268043

TITLE: Superdisintegrants as excipients for enhancing the
dissolution rate of itraconazole from tablets

AUTHOR(S): Chowdary, K. P. R.; Rao, S. K. Srinivasa

CORPORATE SOURCE: Industrial Pharmacy Division, Department of
Pharmaceutical Sciences, Andhra University,
Visahapatnam, 530 003, India

SOURCE: International Journal of Pharmaceutical Excipients
(1999), 1(4), 123-126

CODEN: IJPEC4

PUBLISHER: ENAR Foundation Research Centre

DOCUMENT TYPE: Journal

LANGUAGE: English

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Two series of **Itraconazole** (ITR) tablets, one formulated employing potato starch, Primogel, **Kollidon** CL and Ac-Di-Sol as disintegrants and the other formulated employing solid dispersions of ITR in superdisintegrants (Primogel, **Kollidon** CL and Ac-Di-Sol) were investigated with an objective of enhancing the dissoln. rate of ITR from tablets. Tablets formulated employing solid dispersions of ITR in superdisintegrants gave higher dissoln. rates and higher dissoln. efficiency values than those formulated employing ITR itself with various superdisintegrants. Tablets formulated employing solid dispersion in AC-Di-Sol gave highest improvement (41 fold) in the dissoln. rate of ITR from the tablets. XRD indicated the conversion of ITR into amorphous form in the solid dispersions.

IT 9005-25-8, Potato starch, biological studies 9063-38-1 74811-65-7, Ac-Di-Sol 76633-00-6, **Kollidon** CL 84625-61-6, Itraconazole
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (superdisintegrants as excipients for enhancing dissoln. rate of **itraconazole** from tablets)

L23 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:665446 CAPLUS
 DOCUMENT NUMBER: 125:338841
 TITLE: Comparative study of the association of itraconazole with colloidal drug carriers
 AUTHOR(S): de Chasteigner, Stephanie; Fessi, Hatem; Devissaguet, Jean-Philippe; Puisieux, Francis
 CORPORATE SOURCE: Fac. Pharmacie, Univ. Parix XI, Chatenay-Malabry, Fr.
 SOURCE: Drug Development Research (1996), 38(2), 125-133
 CODEN: DDREDK; ISSN: 0272-4391
 PUBLISHER: Wiley-Liss
 DOCUMENT TYPE: Journal
 LANGUAGE: English

IT 57-88-5, Cholesterol, biological studies 124-30-1, 1-Octadecanamine 302-95-4, Sodium deoxycholate 2197-63-9, Dicetyl phosphate 7585-39-9D, .beta.-Cyclodextrin, derivs. 9003-39-8, **Kollidon** 17PF
 9005-70-3, Montanox 85 24980-41-4, Poly(.epsilon.-caprolactone) 25248-42-4, Poly[oxy(1-oxo-1,6-hexanediyl)] 25322-68-3D, derivs. 26023-30-3, Poly[oxy(1-methyl-2-oxo-1,2-ethanediyl)] 26100-51-6, Poly(lactic acid) 26161-42-2 26811-96-1, Poly(L-lactic acid) 61909-81-7, Solutol HS15 106392-12-5, Pluronic F68
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (assocn. of **itraconazole** with colloidal drug carriers)

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(FILE 'HOME' ENTERED AT 16:29:36 ON 09 JAN 2003)

FILE 'CAPLUS, MEDLINE' ENTERED AT 16:29:51 ON 09 JAN 2003

L1 20 SEA ABB=ON PLU=ON (SELF EMULSIFYING DRUG DELIVERY SYSTEM OR SEDDS)
 L2 0 SEA ABB=ON PLU=ON L1 (P) PVP
 L3 0 SEA ABB=ON PLU=ON L1 (P) POLYVINYLPIRROLIDONE
 L4 0 SEA ABB=ON PLU=ON L1 AND POLYVINYLPIRROLIDONE
 L5 17 DUP REM L1 (3 DUPLICATES REMOVED)
 D L5 1- IBIB KWIC
 L6 0 SEA ABB=ON PLU=ON L5 AND KOLLIDON
 L7 0 SEA ABB=ON PLU=ON L5 AND (HEXANOIC OR DECANOIC OR OCTANOIC OR NONAIC OR LINOLEIC OR OLEIC OR LAURIC OR PALMITIC) (2A) ACID
 L8 2 SEA ABB=ON PLU=ON L5 AND FATTY (2A) ACID
 D L8 IBIB KWIC 1-
 L9 0 SEA ABB=ON PLU=ON (L5 OR L8) AND (STEROID OR KETACONZOLE OR

ITRACONZOLE OR PACLITAXEL)

L10 6 SEA ABB=ON PLU=ON (L5 OR L8) AND (LIPOPHILIC OR WATER
INSOLUBLE OR POORLY SOLUBLE OR INSOLUBLE) (2A) (ACTIVE OR DRUG
OR COMPOUND)

L11 31993 SEA ABB=ON PLU=ON L10 AND PROGESTERONE OR CYCLOSPORIN

L12 0 SEA ABB=ON PLU=ON L10 AND (PROGESTERONE OR CYCLOSPORIN)

L13 2 SEA ABB=ON PLU=ON (L8) AND (LIPOPHILIC OR WATER INSOLUBLE OR
POORLY SOLUBLE OR INSOLUBLE) (2A) (ACTIVE OR DRUG OR COMPOUND)

D L13 IBIB KWIC 1-

L14 0 SEA ABB=ON PLU=ON DRUG DELIVER (P) (INSOLUBLE OR LIPOPHILIC
OR HYDROPHOBIC) (P) (PVP OR KOLLIDON OR POLYVINYLPIRROLIDONE)

L15 13 SEA ABB=ON PLU=ON DRUG DELIVERY (P) (INSOLUBLE OR LIPOPHILIC
OR HYDROPHOBIC) (P) (PVP OR KOLLIDON OR POLYVINYLPIRROLIDONE)

L16 1 SEA ABB=ON PLU=ON L15 AND (MICELLE OR EMULSION)
D L16 KWIC
D L16 KWIC IBIB

L17 12 DUP REM L15 (1 DUPLICATE REMOVED)
D L17 IBIB KWIC 1-

L18 17129 SEA ABB=ON PLU=ON (KETACONZOLE OR ITRACONAZOLE OR PREGESTERON
E OR PACLITAXEL)

L19 12 SEA ABB=ON PLU=ON (KETACONZOLE OR ITRACONAZOLE OR PREGESTERON
E OR PACLITAXEL) (P) (PVP OR POLYVINYLPIRROLIDONE OR KOLLIDON)

L20 0 SEA ABB=ON PLU=ON L19 AND (HEXANOIC OR DECANOIC OR OCTANOIC
OR NONAOIC OR LINOLEIC OR OLEIC OR LAURIC OR PALMITIC) (A) ACID
ACID

L21 0 SEA ABB=ON PLU=ON L19 AND (HEXANOIC OR DECANOIC OR OCTANOIC
OR NONAOIC OR LINOLEIC OR OLEIC OR LAURIC OR PALMITIC) (A) ACID

L22 0 SEA ABB=ON PLU=ON L19 AND (SURFACTANT OR EMULSIFIER) AND
FATTY ACID

L23 11 DUP REM L19 (1 DUPLICATE REMOVED)
D L23 IBIB KWIC 1-

FILE HOME

FILE CAPLUS

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FILE COVERS 1907 - 9 Jan 2003 VOL 138 ISS 2
FILE LAST UPDATED: 8 Jan 2003 (20030108/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

FILE MEDLINE

FILE LAST UPDATED: 8 JAN 2003 (20030108/UP). FILE COVERS 1958 TO DATE.

On June 9, 2002, MEDLINE was reloaded. See HELP RLOAD for details.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2003 vocabulary. See <http://www.nlm.nih.gov/mesh/summ2003.html> for a description on changes.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> log h

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
278.45	278.66

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-21.48	-21.48

CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 60 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 16:47:51 ON 09 JAN 2003

WEST Search History

DATE: Thursday, January 09, 2003

Set Name Query
side by side

Hit Count Set Name
result set

DB=USPT,PGPB,JPAB,EPAB,DWPI; PLUR=YES; OP=OR

L3	l2 and (kollidon or pvp or polyvinylpyrrolidone)	20	L3
L2	(self adj emulsifying adj drug adj delivery) or sedd	110	L2
L1	(self adj emulsifying adj drug) or sedd	116	L1

END OF SEARCH HISTORY